### . TENT COOPERATION TREA. /-

### **PCT**

### **NOTIFICATION OF ELECTION**

(PCT Rule 61.2)

### From the INTERNATIONAL BUREAU

To:

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room

CP2/5C24

Arlington, VA 22202

Date of mailing (day/month/year) 03 July 2001 (03.07.01)	in its capacity as elected Office			
International application No. PCT/EP00/08487	Applicant's or agent's file reference PU3517			
International filing date (day/month/year) 31 August 2000 (31.08.00)	Priority date (day/month/year) 04 September 1999 (04.09.99)			
Applicant				

1. The designated Office is hereby notified of its election made:

| X | in the demand filed with the International Preliminary Examining Authority on:
| 23 March 2001 (23.03.01) |
| in a notice effecting later election filed with the International Bureau on:
| 2. The election | X | was |
| was not |
| made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Authorized officer

Zakaria EL KHODARY

Telephone No.: (41-22) 338.83.38

Facsimile No.: (41-22) 740.14.35

## C py for the Elected Office (EO/US)

### ATENT COOPERATION TREATY

#### From the INTERNATIONAL BUREAU PCT CRAWLEY, Karen NOTIFICATION OF THE RECORDING GlaxoSmithKline **OF A CHANGE** Corporate Intellectual Property (PCT Rule 92bis.1 and Two New Horizons Court **Brentford** Administrative Instructions, Section 422) Middlesex TW8 9EP **ROYAUME-UNI** Date of mailing (day/month/year) 13 juillet 2001 (13.07.01) Applicant's or agent's file reference IMPORTANT NOTIFICATION \_PU3517 \_ International application No. International filing date (day/month/year) 31 août 2000 (31.08.00) PCT/EP00/08487 1. The following indications appeared on record concerning: the inventor X the agent the common representative the applicant State of Nationality State of Residence Name and Address CRAWLEY, Karen Glaxo Wellcome plc Telephone No. Berkeley Avenue 020 8966 8000 Greenford, Middlesex UB6 0NN United Kingdom Facsimile No. 020 8966 8838 more part of the contract of Teleprinter No. 2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning: the address the nationality the residence the name the person State of Nationality State of Residence Name and Address CRAWLEY, Karen GlaxoSmithKline Telephone No. Corporate Intellectual Property 020 8966 8412 Two New Horizons Court Brentford Middlesex TW8 9EP Facsimile No. '-020 8966 8838 **United Kingdom** Teleprinter No. 3. Further observations, if necessary: 4. A copy of this notification has been sent to: the designated Offices concerned X the receiving Office the elected Offices concerned the International Searching Authority other: the International Preliminary Examining Authority Authorized officer The International Bureau of WIPO 34, chemin des Colombettes Christine Carrié 1211 Geneva 20, Switzerland Telephone No.: (41-22) 338.83.38 Facsimile No.: (41-22) 740.14.35

## Copy f r the Elected Office (EO/US)

## TENT COOPERATION TREA

	From the INTERNATIONAL BUREAU
PCT	То:
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)	CRAWLEY, Karen GlaxoSmithKline Corporate Intellectual Property (CN9.25.1) 980 Great West Road Brentford Middlesex TW8 9GS
Date of mailing (day/month/year) 14 February 2002 (14.02.02)	ROYAUME-UNI
Applicant's or agent's file reference PU3517	IMPORTANT NOTIFICATION
International application No. PCT/EP00/08487	International filing date (day/month/year) 31 August 2000 (31.08.00)
1. The following indications appeared on record concerning:	
	X the agent the common representative
Name and Address	State of Nationality State of Residence
CRAWLEY, Karen GlaxoSmithKline	Telephone No.
Corporate Intellectual Property	020 8966 8412
Two New Horizons Court Brentford	Facsimile No.
Middlesex TW8 9EP United Kingdom	020 8966 8838
Office Kingdom	Teleprinter No.
2. The International Bureau hereby notifies the applicant that t	the following change has been recorded concerning:
the person the name X the ad	dress the nationality the residence
Name and Address	State of Nationality State of Residence
CRAWLEY, Karen GlaxoSmithKline	
Corporate Intellectual Property	Telephone No. 44 20 8047 5000
(CN9.25.1) 980 Great West Road	Facsimile No.
Brentford	44 20 8047 6894
Middlesex TW8 9GS United Kingdom	Teleprinter No.
3. Further observations, if necessary:	
4. A copy of this notification has been sent to:	
X the receiving Office	the designated Offices concerned
the International Searching Authority	X the elected Offices concerned
the International Preliminary Examining Authority	other:
	Authorized officer
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Sean Taylor
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

### Copy f r the Elected Office (EO/US)

### PATENT COOPERATION TREATY

ATENTOOOTE	To:  CRAWLEY, Karen  ClaveSmithKline
MOSY	Surra Aba INTERNATIONAL PUREAL
PCT	From the INTERNATIONAL BUREAU
FCI	To:
	CRAWLEY, Karen
NOTIFICATION OF THE RECORDING	GlaxoSmithKline
OF A CHANGE	Corporate Intellectual Property
(PCT Rule 92bis.1 and	(CN9.25.1)
Administrative Instructions, Section 422)	980 Great West Road Brentford
	Middlesex TW8 9GS
Date of mailing (day/month/year)	United Kingdom
10 décembre 2002 (10.12.02)	
Applicant's or agent's file reference	
PU3517	IMPORTANT NOTIFICATION
International application No.	International filing date (day/month/year)
PCT/EP00/08487	31 août 2000 (31.08.00)
10//21 00/00 10/	= 1,1,1
1. The following indications appeared on record concerning:	
X the applicant X the inventor	the agent the common representative
Name and Address	State of Nationality State of Residence
PIANETTI, Pascal, Maurice, Charles	FR FR
	Telephone No.
	·
	Facsimile No.
	***
	Teleprinter No.
2. The International Bureau hereby notifies the applicant that t	he following change has been recorded concerning:
X the person the name X the add	dress the nationality the residence
Name and Address	State of Nationality State of Residence
PIANETTI, Pascal, Maurice, Charles	FR FR
Laboratoire GlaxoSmithKline	Telephone No.
Centre de Recherches Z.A. de Couraboeuf	
25. Avenue de Québec	Facsimile No.
F-91940 Les-Ulis	
	Teleprinter No.
3. Further observations, if necessary:	
Corrected version of IB/306 mailed on 26 March	2002. The person in box No. 2 will be the
applicant/inventor for US only.	
4. A copy of this notification has been sent to:	
	the designated Offices concerned
the International Searching Authority	X the elected Offices concerned
X the International Preliminary Examining Authority	other:
	Authorized officer
The International Bureau of WIPO	
34, chemin des Colombettes 1211 Geneva 20, Switzerland	Ki-Nam HA
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

Form PCT/IB/306 (March 1994)

### - FATENT COOPERATION TREA.

	From the INTERNATIONAL BUREAU			
PCT	To:			
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)  Date of mailing (day/month/year)	CRAWLEY, Karen GlaxoSmithKline Corporate Intellectual Property (CN9.25.1) 980 Great West Road Brentford Middlesex TW8 9GS			
26 March 2002 (26.03.02)	ROYAUME-UNI			
Applicant's or agent's file reference PU3517	IMPORTANT NOTIFICATION			
International application No. PCT/EP00/08487	International filing date (day/month/year) 31 August 2000 (31.08.00)			
The following indications appeared on record concerning:      The applicant the inventor	the agent the common representative			
Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire Glaxo Wellcome Centre de Recherches 25, avenue de Québec F-91951 Les Ulis France  2. The International Bureau hereby notifies the applicant that til  X the person the name the add  Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire Glaxo Wellcome Centre de Recherches 25, avenue de Québec F-91951 Les Ulis France				
3. Further observations, if necessary: The person in box No. 2 will be the applicant for	r all designated States except US.			
4. A copy of this notification has been sent to:  X the receiving Office the International Searching Authority the International Preliminary Examining Authority	the designated Offices concerned  X the elected Offices concerned other:			
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer  Ki-Nam HA			

Telephone No.: (41-22) 338.83.38

Form PCT/IB/306 (March 1994)

Facsimile No.: (41-22) 740.14.35

## TENT COOPERATION TREA

	From the INTERNATIONAL BUREAU
PCT	To:
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)	CRAWLEY, Karen Glaxo Wellcome plc Berkeley Avenue Greenford, Middlesex UB6 0NN ROYAUME-UNI
Date of mailing (day/month/year) 13 March 2001 (13.03.01)	
Applicant's or agent's file reference PU3517	IMPORTANT NOTIFICATION
International application No. PCT/EP00/08487	International filing date (day/month/year) 31 August 2000 (31.08.00)
The following indications appeared on record concerning:      X the applicant      X the inventor	the agent the common representative
Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire Glaxo Wellcome Centre de Recherches Z.A. de Couraboeuf 25, avenue de Québec F-91940 Les Ulis France	State of Nationality State of Residence FR FR  Telephone No.  Facsimile No.  Teleprinter No.
The International Bureau hereby notifies the applicant that the	ne following change has been recorded concerning: lressthe nationalitythe residence
Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire Glaxo Wellcome Centre de Recherches 25, avenue de Québec F-91951 Les Ulis France	State of Nationality FR FR Telephone No.  Facsimile No.  Teleprinter No.
Further observations, if necessary:     The Applicant's status has been changed into applicant.	oplicant/inventor for the US only.
4. A copy of this notification has been sent to:	
X the receiving Office the International Searching Authority the International Preliminary Examining Authority	X the designated Offices concerned the elected Offices concerned other:
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer  Eugénia Santos
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338,83,38

### PATENT COOPERATION TREATY

	From the I	NTERNATIONAL BU	JREAU	
PCT	То:			
NOTIFICATION OF THE RECORDING OF A CHANGE  - (PCT Rule 92bis.1 and Administrative Instructions, Section 422)	CRAWLEY, Karen GlaxoSmithKline Corporate Intellectual Property (CN9.25.1) 980 Great West Road Brentford Middlesex TW8 9GS			
Date of mailing (day/month/year) 19 April 2002 (19.04.02)	ROYAUI	ME-UNI		
Applicant's or agent's file reference PU3517	de de	IMPORTANT NOTII	FICATION	
International application No. PCT/EP00/08487		filing date (day/month/yeust 2000 (31.08.00)	ar)	
The following indications appeared on record concerning:      The applicant the inventor	the agent	the commo	n representative	
Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire Glaxo Wellcome Centre de Recherches 25, avenue de Québec F-91951 Les Ulis	Te	ate of Nationality FR lephone No.	State of Residence FR	
France	Te	leprinter No.		
2. The International Bureau hereby notifies the applicant that t	he following cha	nge has been recorded c	oncerning:	
the person the name X the add	iress	the nationality	the residence	
Name and Address  PIANETTI, Pascal, Maurice, Charles Laboratoire GlaxoSmithKline Centre de Recherches Z.A. de Couraboeuf 25, Avenue de Québec F-91940 Les Ulis	Те	ete of Nationality FR lephone No. csimile No.	State of Residence FR	
France	Te	leprinter No.		
3. Further observations, if necessary:				
4. A copy of this notification has been sent to:				
X the receiving Office		the designated Offices of	oncerned	
the International Searching Authority	X	the elected Offices conc	erned	
the International Preliminary Examining Authority		other:		
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized office	Kiwa MPAY		





A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D277/24 A61K A61K31/16 A61P31/00 C07D417/12 A61K31/33 C07D307/80 C07D333/56 C07D207/32 C07D409/04 C07D279/34 C07D295/08 C07C311/46 C07D233/22 C07D277/62 C07D209/48

According to International Patent Classification (IPC) or to both national classification and IPC

#### **B. FIELDS SEARCHED**

 $\begin{array}{lll} \mbox{Minimum documentation searched} & \mbox{(classification system followed by classification symbols)} \\ \mbox{IPC} & 7 & \mbox{C07D} & \mbox{C07C} & \mbox{A61K} & \mbox{A61P} \end{array}$ 

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data

	ENTS CONSIDERED TO BE RELEVANT		T
Category °	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to claim No.
X	P G WYATT ET AL: "JOURNAL OF MEDICINAL CHEMISTRY,US,AMERICAN CHEMICAL SOCIETY. WASHINGTON" JOURNAL OF MEDICINAL CHEMISTRY,US,AMERICAN CHEMICAL SOCIETY. WASHINGTON,	* *	1-37
	vol. 38, no. 10, 1995, pages 1657-1665, XP002084134 ISSN: 0022-2623 page 1658; table 1 page 1659; table 2 page 1660; table 3		
Ρ,Χ	WO 99 55682 A (UNIV GEORGETOWN) 4 November 1999 (1999-11-04) figure 10		1
	-/ <del>-</del> -		

Patent family members are listed in annex.
<ul> <li>*T* tater document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</li> <li>*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</li> <li>*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combined with one or more other such documents, such combination being obvious to a person skilled in the art.</li> <li>*&amp;* document member of the same patent family</li> </ul>
Date of mailing of the international search report  21/02/2001
Authorized officer  Pauwels, G

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D277/64 C07D275/04 C07D233/84 C07D277/36 C07D277/28
C07D263/32 C07C317/40 C07D521/00 C07D285/06 C07D231/56
C07D409/12 C07D333/22 C07D241/44 C07D213/50 C07D235/28

According to International Patent Classification (IPC) or to both national classification and IPC

#### **B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical search terms used)

Category °	Citation of document, with indication, where appropriate, of the relevant pa	Citation of document, with indication, where appropriate, of the relevant passages			
X	FR 1 552 793 A (ETS. CLYN-BILA) 10 January 1969 (1969-01-10) page 6; example 56			1 .	
<b>X</b>	US 4 883 815 A (ASCHWENDEN WERNER ET 28 November 1989 (1989-11-28) example 27	AL)		1	
X	US 4 207 234 A (HASHIMOTO MASASHI ET 10 June 1980 (1980-06-10) example 190	AL)		1	
X	SUGASAWA, TSUTOMU ET AL: "1-Azacycloalkyl-1,4-benzodiazepin-2-with antianxiety- antidepressant action. MED. CHEM. (1985), 28(6), 699-707	ons"		1	
	XP002159556 page 700; figure 15			·	

X Further documents are listed in the continuation of box C.	Patent family members are listed in annex.
Special categories of cited documents:  'A' document defining the general state of the art which is not considered to be of particular relevance  'E' earlier document but published on or after the international filing date  'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)  'O' document referring to an oral disclosure, use, exhibition or other means  'P' document published prior to the international filing date but later than the priority date claimed	<ul> <li>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</li> <li>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</li> <li>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combined with one or more other such documents, such combination being obvious to a person skilled in the art.</li> <li>"&amp;" document member of the same patent family</li> </ul>
Date of the actual completion of the international search  6 February 2001	Date of mailing of the international search report
Name and mailing address of the ISA  European Patent Office, P.B. 5818 Patentlaan 2  NL – 2280 HV Rijswijk  Tel. (+31-70) 340-2040, Tx. 31 651 epo ni, Fax: (+31-70) 340-3016	Authorized officer Pauwels, G





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IPC 7	FICATION OF SUBJECT MATTER C07D235/06 C07D235/26 C07D20 C07D295/12 C07D249/18 C07D23 C07D401/12 C07C323/22 C07C31	3/54 C07D333 7/24 C07C313	3/38 C07D	235/24 213/61 213/64
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	SEARCHED cumentation searched (classification system followed by classification system followed by classific	cation symbols)		<del></del>
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Ejectronic d	ata base consulted during the international search (name of data	base and, where practica	u, search terms used	1)
C. DOCUME	ENTS CONSIDERED TO BE RELEVANT			
Category *	Citation of document, with indication, where appropriate of the	relevant passages	<del></del>	Relevant to claim No.
Jacogory	Ontainer of the content, while inducation, where appropriate, of the	Toward Passages		ricevani lo dalli 140.
<b>X</b>	CAPUANO, LILLY ET AL: "Darstel alpha-'2- alkoxycarbonyl-anilin alpha-'2-Acylanilino!-carbonsäu JUSTUS LIEBIGS ANN: CHEM. (1968	o!- und reamiden"		1
	73-8 , XP002159557 page 73; figure 4J page 78, paragraph 1			
<b>X</b>	BRANDL, E.: "Penicillin reacti Behavior towards penicillinase" SCI. PHARM. (1971), 39(4), 267- XP000979965			1
	page 279; example 95	•		
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		37		4
Furth	er documents are listed in the continuation of box C.	X Patent family	members are listed i	n annex.
<ul> <li>Special cat</li> </ul>	egories of cited documents :	"T" later document pub	lished after the inter	national filing date
conside	nt defining the general state of the art which is not ered to be of particular relevance ocument but published on or after the international	or priority date and	d not in conflict with to the principle or the	the application but ory underlying the
filing da	ate	cannot be conside	red novel or cannot	be considered to
which is	nt which may throw doubts on priority claim(s) or scied to establish the publication date of another	"Y" document of particu		sument is taken alone alone
	or other, special reason (as specified) nt referring to an oral disclosure, use, exhibition or	cannot be conside	red to involve an inv	entive slep when the
other m		ments, such comb		s to a person skilled
	nt published prior to the international filing date but an the priority date claimed	in the art. "&" document member	of the same patent f	amily .
	ctual completion of the international search	<del></del>	he international sea	
. 6	February 2001			
Name and ma	ailing address of the ISA	Authorized officer		
	European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk TeL (+31-70) 340-2040. Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Pauwels	, G	





		<u> </u>						
A. CLASS IPC 7	C07D333/72 C07C311/08 C07C213/42	C07D215/08 C07D211/44 C07D333/20	C07D217, C07D407, C07D207,	/12	C07D213/75 C07D307/46 C07D307/14	C07D	261/16 333/54 207/20	
According t	to International Patent Class			-		00,1	2017.20	
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	locumentation searched (cla	assification system follow	ved by classifical	tion symb	ois)			
Documenta	alion searched other than mi	inimum documentation to	the extent that	such doc	uments are included in	the fields se	earched	
Electronic d	data base consulted during t	the international search	(name of data ba	ase and,	where practical, search	n terms used		
C. DOCUM	ENTS CONSIDERED TO B	E RELEVANT						
Category *	Citation of document, with	n indication, where appr	opriate, of the re	levant pa	ssages		Relevant to claim N	lo.
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<u> </u>	her documents are listed in t		).	X	Patent family member	s are listed i	in annex.	
Special cat	tegories of cited documents	, <u>:</u>			document published a			
	ent defining the general state			or p	priority date and not in o d to understand the pri	conflict with t	the application but	
'E' earlier d	lered to be of particular relev document but published on o			inve	ention Iment of particular relev			
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"O" docume	ent referring to an oral disclo	•		doc	not be considered to in current is combined with	h one or mor	re other such docu-	
other m	neans ant published prior to the inte	emational filing date but			nts, such combination I he art.	eing obviou	s to a person skilled	
	in published prior to the line ian the priority date claimed			'&' docu	ment member of the sa	ame patent f	amily	
Date of the a	actual completion of the inter	mational search		Date	e of mailing of the inter	national sear	rch report	
	February 2001	<del> </del>			<u> </u>		T. W. C.	
Name and m	nailing address of the ISA European Patent Office.	o, P.B. 5818 Patentiaan 2	,	Auth	orized officer			
	NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040		-	ł	_			
	Fax: (+31-70) 340-3016		ļ		Pauwels, G		•	



Intern. PPIIIcation No

A. CLASSI IPC 7	FICATION OF SUBJECT C07D213/85 C07D295/22	MATTER C07D213/84 C07C255/44	C07D333/32 C07D295/14	C07C255/56 C07C237/42	C07C275/	10
According to	International Patent Clas	sification (IPC) or to both	national classification a	and IPC		
B. FIELDS	SEARCHED					
Minimum do	cumentation searched (d	assification system follow	wed by classification syr	nbols)		
			,		,	
Documentat	ion searched other than m	inimum documentation t	o the extent that such de	ocuments are included in t	the fields searched	
Electronic da	ata base consulted during	the international search	(name of data base and	i, where practical, search	terms used)	
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Furthe	er documents are listed in	the continuation of box (	с. 🗶	Patent family members	are listed in annex	<u>.                                    </u>
<ul> <li>Special cate</li> </ul>	egories of cited documents	3:	'T' tat	er document published afte	er the internationa	l filing date
	t defining the general stat		0	r priority date and not in co ited to understand the prin-	onflict with the app	dication but
	red to be of particular rele ocument but published on			ivention cument of particular releva	nce: the claimed i	invention
filing da	te t which may throw doubts	on priority daim(s) or	C	annot be considered novel	or cannot be cons	idered to
which is	cited to establish the pub or other special reason (a:	Ecation date of another	"Y" do	cument of particular releva	nce; the claimed	invention
"O" documen	nt referring to an oral discl		d	annot be considered to inv ocument is combined with nents, such combination be	one or more other	such docu-
	t published prior to the int		in	the art.		Person Skilled
	in the priority date claimed			cument member of the sar		
Date of the ac	ctual completion of the inte	ernational search		ate of mailing of the interna	ational search repo	ρrī
6	February 2001	41)				* ***
Name and ma	ailing address of the ISA	0.0.5040		thorized officer		
	European Patent Office NL - 2280 HV Rijswijk Tel. (+31-70) 340-204 Fax: (+31-70) 340-301	0, Tx. 31 651 epo ni,		Pauwels, G		4

informations an elent family members

Intern. plication No PCT/EP 00/08487

	atent document d in search repor	t	Publication date		atent family member(s)	Publication date
WO	9955682	Α	04-11-1999	AU	3669199 A	16-11-1999
FR	1552793	Α	10-01-1969	DE	1643317 A	16-06-1971
				GB	1197597 A	08-07-1970
				US	3551478 A	29-12-1970
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US	4207234		10-06-1980	US	4472300 A	18-09-1984

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ELECE:	From the INTERNATIONAL BUREAU
PATENT COOPER  PATENT COOPER  23 JUL 2001  NEW HORIZO  NEW HORIZO  OF A CHANGE	To:
23 JUL 2001 PCT	10:
Land Land Land	ODAMI SV. Kara-
NEW THOTIFICATION OF THE RECORDING	CRAWLEY, Karen GlaxoSmithKline
OF A CHANGE	Corporate Intellectual Property
(PCT Rule 92bis 1 and	Two New Horizons Court
Administrative Instructions, Section 422)	Brentford
Administrative motivations, cookisministrative	Middlesex TW8 9EP
Date of mailing (day/month/year)	NOYAUME-UNI
13 July 2001 (13.07.01)	
Applicant's or agent's file reference	
PU3517	IMPORTANT NOTIFICATION
P03317	
International application No.	International filing date (day/month/year)
PCT/EP00/08487	31 August 2000 (31.08.00)
1. The following Indications appeared on record concerning:	X the agent the common representative
the applicant the inventor	
Name and Address	State of Nationality State of Residence
CRAWLEY, Karen Corporate Intrilectual Pro	perty
Glaxo Wellcome plc Berkeley Avenue RECEIVED	Telephone No. 020 8966 8000
Greenford, Middlesex UB6 0NN	
United Kingdom 2 4 1111 2001	Facsimile No. 020 8966 8838
	File Teleprinter No.
Action Uate	Teleprinter No.
2. The International Bureau hereby notifies the applicant that t	the following change has been recorded concerning:
the person the name X the ad	dress the nationality the residence
Name and Address	State of Nationality State of Residence
CRAWLEY, Karen	
	Telephone No.
Corporate Intellectual Property Two New Horizons Court	020 8966 8412
Brentford	Facsimile No.
Middlesex TW8 9EP United Kingdom	020 8966 8838
	Teleprinter No.
	(•
3. Further observations, if necessary:	:
4. A copy of this notification has been sent to:	
X the receiving Office	the designated Offices concerned
	X the elected Offices concerned
the International Searching Authority	other:
X , the International Preliminary Examining Authority	, Unier.
	Authorized officer C. CARELE
The International Bureau of WIPO 34, chemin des Colombettes	Christine Carrié
1211 Geneva 20, Switzerland	· · ·
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38
Form PCT/IR/306 (March 1994)	004149763

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#### PCT REQUEST

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111-1-7

Name (LAST, First)

State of nationality

State of residence

Address:

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0-4-1

### Original (for SUBMISSION) - printed on 15.08.2000 04:08:03 PM

For receiving Office use only PCT/EP00/08487 International Application No. International Filing Date (-3 1 OB 2000) 3 1 AUG 2000 -Name of receiving Office and "PCT International Application" EUROPEAN PATENT OFFICE PCT INTERNATIONAL APPLICATION Form - PCT/RO/101 PCT Request Prepared using PCT-EASY Version 2.91 (updated 01.07.2000) Petition The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty Receiving Office (specified by the European Patent Office (EPO) (RO/EP) applicant) Applicant's or agent's file reference PU3517 Title of Invention BENZOPHENONES AS INHIBITORS OF REVERSE TRANSCRIPTASE Applicant This person is: applicant only Applicant for all designated States except US Name GLAXO GROUP LIMITED Address: Glaxo Wellcome House Berkeley Avenue Greenford, Middlesex UB6 ONN United Kingdom State of nationality GB State of residence GB Telephone No. 020 8966 8000 Facsimile No. 020 8966 8838 Applicant and/or inventor This person is: applicant and inventor Applicant for

ANDREWS, Clarence, Webster

United States of America

Research Triangle Park, NC NC 27709

Glaxo Wellcome Inc. Five Moore Drive

US only

US

US

01-5-6

111-5-7

State of nationality

State of residence

#### Original (for SUBMISSION) - printed on 15.08.2000 04:08:03 PM 111-2 Applicant and/or inventor 111-2-1 This person is: applicant and inventor 111-2-2 Applicant for US only 111-2-4 Name (LAST, First) CHAN, Joseph, Howing 111-2-5 Address: Glaxo Wellcome Inc Five Moore Drive Research Trangle Park, NC NC 27709 United States of America 111-2-6 State of nationality 111-2-7 State of residence US 111-3 Applicant and/or inventor **III-3-1** This person is: applicant and inventor 111-3-2 Applicant for US only 111-3-4 Name (LAST, First) FREEMAN, George, Andrew 111-3-5 Address: Glaxo Wellcome Inc. Five Moore Drive Research Triangle Park, NC NC 27709 United States of America 111-3-6 State of nationality US 111-3-7 State of residence US 111-4 Applicant and/or inventor 111-4-1 This person is: applicant only 111-4-2 Applicant for all designated States except US 111-4-4 Name (LAST, First) PIANETTI, Pascal, Maurice, Charles 111-4-5 Address: Laboratoire Glaxo Wellcome Centre de Recherches Z.A. de Couraboeuf F-91940 Les Ulis 25 avenue de Quebec France ----111-4-6 State of nationality FR 111-4-7 State of residence FR 111-5 Applicant and/or inventor 111-5-1 This person is: applicant and inventor 111-5-2 Applicant for US-only-111-5-4 Name (LAST, First) ROMINES, Karen, Rene 111-5-5 Address: Glaxo Wellcome Inc Five Moore Drive Research Triangle Park, NC NC 27709 United States of America

US\_\_\_\_\_

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111-6	TA-11						
111-6 111-6-1	Applicant and/or inventor This person is:						
111-6-2		applicant and inventor					
111-6-4	Applicant for	US only					
•	Name (LAST, First)	TIDWELL, Jeffrey, H.					
III- <b>6-</b> 5	Address:	Glaxo Wellcome Inc.					
		Five Moore Drive					
	· ·	Research Triangle Park, NC NC 27709					
•		United States of America					
111-6-6	State of nationality	US					
III <b>-6</b> -7	State of residence	US					
111-7	Applicant and/or Inventor						
111-7-1	This person is:	applicant only					
111-7-2	Applicant for	all designated States except US					
111-7-4	Name (LAST, First)	PIANETTI, Pascal, Maurice, Charles					
111-7-5	Address:	Laboratoire Glaxo Wellcome					
		Centre de Recherches					
		Z.A. de Couraboeuf					
	·						
		F-91940 Les Ulis 25 avenue de Quebec France					
III-7-6	State of nationality	FR					
111-7-7	State of residence	FR					
IV-1	Agent or common representative; or	FR					
	address for correspondence						
	The person identified below is hereby/has been appointed to act on	agent					
	behalf of the applicant(s) before the						
IV-1-1	competent International Authorities as:						
•	Name (LAST, First)	CRAWLEY, Karen					
IV-1-2	Address:	Glaxo Wellcome Plc					
		Berkeley- Avenue					
		Greenford, Middlesex UB6 ONN					
		United Kingdom					
IV-1-3	Telephone No.	020 8966 8000					
IV-1-4	Facsimile No.	020 8966 8838					

## Original (for SUBMISSION) - printed on 15.08.2000 04:08:03 PM

Regional Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  AP: GH GM KE LS MW MZ SD SI SZ TZ UG: and any other State which is a day other State which is a day other State which is a contracting State of the Eurasian Patent Convention and other State which is a Contracting State of the Eurasian Patent Convention and the PCT  EP: AT BE CHSLI CY DE DK ES FI FR GB G IF. IT LU MC NL PT SE and any other State which is a Contracting State of the European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of OAPI and a Contracting State of the PCT  National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  FI GB GD GF GG MR HE UI DI LI NI IS JP KE KG KP KR KZ LC LK LK LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU MD MG MK MN MW MX MZ NO NZ PL PT RO RU MD MG MK MN MW MX MZ NO NZ PL PT RO RU MD MG MK MN MW MX MZ NO NZ PL PT RO RU MS SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW  V-5 Precautionary Designation Statement In addition to the designations made under kems V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) and designations are subject to confirmation and that any designation of the state(s) indicated under kems V-1, V-2 and V-3, the application of that time limit.  V-6 Excellence is from precautionary NONE  Lectablor(s) from precautionary NONE  Lectablor(s) from precautionary NONE  Princip claim of earlier national application of earlier national application.  Filing date  V-1-1-2 Number  V-1-1-3 Country  Vii-1 International Searching Authority Chosen	V	Designation of States	—												<b>N</b>
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and of the PCT  EA: AM AZ BY KG KZ MD RU TJ TM and any other State which is a Contracting State of the Eurasian Patent Convention and the PCT  EP: AT BE CHSLI CY DE DK ES FI FR GB G  IF IT LU MC NL PT SE and any other State which is a Contracting State of the European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of OAPI and a Contracting State of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of the PCT  V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  FI GB GD GE GE GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LVM MD MG MK MN MW MX ZN NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  V-5 Precautionary Dasignation Statement in addition to the designations made applicant tests or made applicant tests or made applicant tests or made applicant tests or subject to confirmation, and that any designations which would be permitted under the PCT except any designations which would be regarded as without on 15 months from the priority date is to be regarded as without my the applicant at these additional designations which is not confirmed before the expiration of 15 months from the priority date is to be regarded as without my the applicant at the expiration of that time limit  V-6 Exclusion(s) from precautionary designations are subject to confirmation; and that any designationary papicant at the expiration of that time limit  V-1-12 Improved the PCT except any designation of the strength of 5 months from the priority date is to be regarded as without any by the applicant at the expiration of the strength of 5 months from the priority date is to be regarded as without my the applicant at the expiration of the strength of 5 months from the priority date is to be regarded as without any the applicant of 5 months from the priority date is to be regarded as without a		after the declaration(s)	s au	ia s	any	OLI	ler	Sta	ate	whi	ch	is	a		
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CIT the Eurasian Patent Convention and the PCT  EP: AT BE CH6LI CY DE DK ES FI FR GB G  IE IT LU MC NL PT SE and any other Stawhich is a Contracting State of the European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GM GW ML MR N SN TD TG and any other State which is member State of OAPI and a Contracting State of the FCT  V-2 National Patent (other kinds of protection of treatment, if any, are specified between parentheses after the designation(s) concerned)  The protection of the state of the FCT  CA CHELI CN CR CU CZ DE DK DM DZ EE ES SI GR KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  The papellant also makes under Rule 49(b) all designations are which would be permitted under the PCT except any designations are subject to confirmation; and that any designations are subject to confirmation; and that any designation of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-4 Exclusion(s) from precutionary designations.  PI-1 Priority claim of earlier national application.  The priority claim of earlier national applicatio		•	lot	her	~ @+						.0	. 0 2	m a	ına	any
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which is a Contracting State of the European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of CAPI and a Contracting State of the PCT  National Patent (other kinds of protection or treatment, if any, are specified between parentheese after the designation(s) concerned)  NE RG KP KR RZ LC LK LR LS LT LU IV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  No MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  No MG MK MN MW MX MZ NO MZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  No MG MK MN MW MZ MZ NO MZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  No MG MK MN MW MZ MZ NO MZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  No MG MK MN MW MZ MZ NO MZ PL PT RO RU SINGanties of the Acceptancy designation swhich would be permitted under the PCT except any designation swhich is not confirmed before the expiration of 15 ments from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  No MC MK MN MW MZ			EP	: A	LT E	E C	HEL	I C	Y D	EĎ	KE	SF	TF	RG	B GD
which is a Contracting State of the European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of the PCT  (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  FIG GD GE GH GM HR HU ID IL IN IS JF KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US US VN YU ZA ZW  V-5 Precautionary Designation Statement In addition to the designations made under items V-1, V-2 and V-3, the applicant also makes under Rule 4-9ba all designations which would be permitted under the PCT except any designation which would be permitted under the PCT except any designation of the State(s) indicated under late was signation, and that any designation of that time limit.  V-6 Exclusion(s) from precautionary designation of that time limit.  V-6 Exclusion(s) from precautionary designation of that time limit.  V-7 Priority claim of earlier national application.  J-1-1 Filing date  VI-1-2 Number  VI-1-3 Country  VII-1 International Searching Authority  UND CR CI CM GA GN GW ML MR N MR MR N MR MR N DA CONTRACTION.  SN TD TG and any other State which is and employ and any other State which is and employ and a contracting and the PCT  CAC CHELIT CN CR CU CZ DE DIX DM DX EE ES  CA CHELI CN CR CU CZ DE DIX DM DX EE ES  SR SR SI TJ TM TR TT TZ UA UG  US UZ VN YU ZA ZW  VI ZA ZW  VI ZA ZW  VI ZA ZW  VI ZA ZW  V-5 Exclusion(s) from precautionary designations of that time limit.  V-6 Exclusion(s) from precautionary designation of that time limit.  V-7 Priority claim of earlier national application.  V-1-1 Priority claim of earlier national application.  V-1 Priority claim of earlier national application.  V-2 Procautionary Cac CA			IE	IT	LU	MC	NI	PT	SE	an	4	D12		~- ·	
European Patent Convention and of the PCT  OA: BF BJ CF CG CI CM GA GN GW ML MR N SN TD TG and any other State which is member State of OAPI and a Contracting State of the PCT  V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(a) concerned)  AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH&LI CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU US UZ VN YU ZA ZW  V-5 Precautionary Dealignation Statement in addition to the designations made under Rule 4.9(b) all designations which would be permitted under the PCT except any designation of the time from the priority date is to be regarded as withdrawn by the applicant declares that those additional designation of that time limit  V-6 Exclusion(s) from precautionary designation of that time limit  V-7 Exclusion(s) from precautionary designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  V-8 Exclusion(s) from precautionary designations are subject to confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  V-8 Exclusion(s) from precautionary designations and that any designations are subject to confirmed before the expiration of that time limit  V-9 Fibrility claim of earlier national application of the time in the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  V-1-1 Fibrility claim of earlier national application of the time in the priority date is to be regarded as withdrawn by the applicant at the expiration of the time in the priority date is to be regarded as withdrawn by the applicant and that any designations are subject to confirmation to the time in the priority date is to			wh	ich	10		Con	+				try		er	State
V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designations (c) concerned)  V-5 Precautionary Designation Statement In addition to the designations made under item V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) all designations which would be permitted under the PCT except any designations which would be permitted under the PCT except any designations of of the State(s) indicated under litem V-6 below. The applicant designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations with the work of the state of CAPI and a Contracting State of the PCT  A E AG AL AM AT AU AZ BA BB B G BR BY BZ CA CH&LI CN CR CU CZ DE DK DM DZ EE ES GE GE GE GH GM RR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US US VN YU ZA ZW  V-5 Precautionary Designation Statement In addition to the designations which would be permitted under the PCT except any designations which would be permitted under the PCT except any designations which would be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations  V-1-1-2 Number	•		F2			a	CON	LEA	CEI	ng	Sta	te	ØĒ	the	==
OA: BF BJ CF CG CI CM GA GN GW ML MR N  SN TD TG and any other State which is member State of OAPI and a Contracting State of the PCT  V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  REAG AL AM AT AU AZ BA BB BG BR BY BZ CA CH&LI CN CR CU CZ DE DK DM DZ EE ES after the designation(s) concerned)  WE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX ZN ON NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  V-5 Precautionary Designation Statement in addition to the designations are subject to confirmed before the EVERTAGE of the speciation of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations are subject to confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations precautionary designations processed as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations precautionary designations precautionary designations processed as withdrawn by the applicant at the expiration of that time limit.  V-7 Priority claim of earlier national application from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-8 Exclusion(s) from precautionary designations are subject to confirmed before the expiration of that time limit.  V-8 Exclusion(s) from precautionary designations are subject to confirmed before the expiration of the time limit.  V-9 Priority claim of earlier national application of the time limit.  V-1-1-2 Number Country GE Country CAL TO C	*		Eu.	- -ob	ean	₽a.	cen	t C	Onv	ent	τοψ	an	d o	£t	he
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V-2 National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  V-2 (National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH&LI CN CR CU CZ DE DK DM DZ EE ES after the designation(s) concerned)  CA CH&LI CN CR CU CZ DE DK DM DZ EE ES GE CR	*	*	SN	TD	TG	an	d a	DV	oth	er	sta	te	wb i	— ∽h	
National Patent (other kinds of protection or treatment, if ary, are specified between parentheaes after the designation(s) concerned)  FIG. GB. GD. GE. GH. MR. HU. ID. II. IN. IS. JP. KE. KG. KP. KR. KZ. LC. LK. LR. LS. LT. LU. LV. MA. MD. MG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MZ. NO. NZ. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. TZ. UA. UG. NG. MK. MN. MW. MX. MZ. NO. NZ. PL. PT. PT. RO. RU. SD. NG. MK. MN. MW. MX. M			mer	mbe	r S	tät		f A	A D T	~~_	J	~~~	W 44.4.		
National Patent (other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW  V-5 Precautionary Designation Statement In addition to the designations made under items V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) all designations which would be permitted under the PCT except any designations are subject to confirmation, and that any designation of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations VI-1 Priority claim of earlier national application IV-1-1-2 Number Vi-1-3 Country Vii-1 International Searching Authority			C+.	2+0	 		- 5		WE T	an	u a	CO	ntr:	act.	rug
(other kinds of protection or treatment, if any, are specified between parentheses after the designation(s) concerned)  FI GB GD GE GR M HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW  V-5 Precautionary Designation Statement In addition to the designations made under items V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) all designations which would be permitted under the PCT except any designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations VI-1 Filority claim of earlier national application VI-1-1 Filing date  O4 September 1999 (04.09 1999) VII-1 International Searching Authority	V-2	National Patent							market.						
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after the designation(s) concerned)  FI GB CD GE GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW  V-5  Precautionary Designation Statement In addition to the designations made under item V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) all designations which would be permitted under the PCT except any designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  V-6 Exclusion(s) from precautionary designations  VI-1  Priority claim of earlier national application  VI-1-2  Number  Country  VII-1 International Searching Authority  EUROPPEAR DESTRUCTION OF SEARCH AND ACCESS O	+ , •	any, are specified between parentheses	CA	CH	&LI	CN	CR	CU	CZ	DE	DK	DM	DZ	EE	ES
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V-5 Precautionary Designation Statement In addition to the designations made under items V-1, V-2 and V-3, the applicant also makes under Rule 4.9(b) all designations which would be permitted under the PCT except any designation(s) of the State(s) indicated under item V-6 below. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit  V-6 Exclusion(s) from precautionary designations  VI-1 Priority claim of earlier national application  VI-1-2 Number  VI-1-3 Country  VII-1 International Searching Authority  Fill Contact Cont			SD	SE	SĢ	SI	SK	SL	ŢJ	TM	TR	TT	TZ	UA	UG
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months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit.  V-6		confirmed before the expiration of 15			·						-				
at the expiration of that time limit.  V-6 Exclusion(s) from precautionary designations  VI-1 Priority claim of earlier national application  VI-1-1 Filing date  VI-1-2 Number  VI-1-3 Country  VII-1 International Searching Authority  VII-1 International Searching Authority  VII-1 Exclusion NONE  NONE  04 September 1999 (04.09.1999)  9920872.0  GB		months from the priority date is to be	1								1		-		
V-6 Exclusion(s) from precautionary designations  VI-1 Priority claim of earlier national application  VI-1-1 Filing date  VI-1-2 Number  VI-1-3 Country  VII-1 International Searching Authority  VII-1 International Searching Authority  VII-1 Exclusion Priority  NONE  NONE  04 September 1999 (04.09 1999)  9920872.0  GB	_	regarded as withdrawn by the applicant	1 .	,			•.	:			1	* .	+		
VI-1 Priority claim of earlier national application VI-1-1 Filing date 04 September 1999 (04.09.1999) VI-1-2 Number 9920872.0 VI-1-3 Country GB VII-1 International Searching Authority European Patent Office (VDC) (VCC) (VCC)	VE	at the expiration of that time limit					,				1				
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Vi-1-2 Number 9920872.0 Vi-1-3 Country GB  Vii-1 International Searching Authority European Patent Office (TDO) (TGD) (TGD)											1				
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### Original (for SUBMISSION) - printed on 15.08.2000 04:08:03 PM

VIII	Check list	number of sheets	
VIII-1	Request	5	electronic file(s) attached
VIII-2	Description	403	
VIII-3	Claims		
VIII-4		26	-
	Abstract	1	pu3517_abstract.txt
VIII-5	Drawings	0	Passi, abscract. Ext
VIII-7	TOTAL	435	
	Accompanying items	paper document(s) attached	
/111-8	Fee calculation sheet	January adaction	
/III-9	Separate signed power of attorney		
/III-16	PCT-EASY diskette		_
/111-18	Figure of the drawings which should accompany the abstract		diskette
/111-19	Language of filing of the international application	English	
K-1	Signature of applicant or agent	CRAWLEY, Karen	les
C-1-1	Name (LAST, First)	CRAWLEY, Karen	

### FOR RECEIVING OFFICE USE ONLY

10-1	Date of actual receipt of the purported international application	3 1 AUG 2000	(31 08 2000)
10-2	Drawings:		(0 1 00, 2000)
10-2-1	Received		•
10-2-2	Not received	·	÷
10-3	Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application		
10-4	Date of timely receipt of the required corrections under PCT Article 11(2)		
10-5	International Searching Authority	ISA/EP	
10-6	Transmittal of search copy delayed until search fee is pald		

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### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PU3517	FOR FURTHER AC		ification of Transmittal of International ary Examination Report (Form PCT/IPEA/4	16)
International application No.	International filing date (d	lay/month/year)	Priority date (day/month/year)	
PCT/EP00/08487	31/08/2000		04/09/1999	
International Patent Classification (IPC) or C07D277/24	national classification and IPC		* * * * * * * * * * * * * * * * * * * *	
	:			
Applicant		•		
GLAXO GROUP LIMITED et al.				
This international preliminary examples and the the applicant to the	mination report has been	prepared by this Ir	nternational Preliminary Examining Au	thority
and is transmitted to the applican	t according to Article 36.			
2. This REPORT consists of a total	of 7 sheets, including this	cover sheet.		
been amended and are the be (see Rule 70.16 and Section	pasis for this report and/or 607 of the Administrative	sheets containing	tion, claims and/or drawings which have rectifications made before this Author rithe PCT).	ity
These annexes consist of a total	of sheets.	. · · · · · · · · · · · · · · · · · · ·		
-				****
I ⊠ Basis of the report II □ Priority III ⊠ Non-establishment o	f opinion with regard to no		ep and industrial applicability	
V ⊠ Reasoned statement		egard to novelty, in	nventive step or industrial applicability;	
VI   Certain documents				•
VII   Certain defects in the	e international application			
VIII   Certain observations	on the international applic	cation		
Date of submission of the demand		Date of completion	of this report	•
Date of submission of the demand		Date of completion 22.11.2001	of this report	
	onal		of this report	ON PROPERTY.



# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08487

I.	Bas	is of the r port	•						
1.	With regard to the <b>elements</b> of the international application (Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)): <b>Description, pages:</b>								
	1-40	03	as originally file	ed					
	Cla	ims, No.:						·	
	1-37	7	as originally file	ed .					
	٠.						. :		
2.	With	n regard to the lang guage in which the	juage, all the el international app	ements marked plication was fil	d above were a led, unless oth	available or furni nerwise indicated	shed to this Au under this iter	ithority in the	
	The	se elements were	available or furn	ished to this A	uthority in the	following languag	ge: , which is	<b>:</b>	
		the language of a	translation furni	shed for the pu	irposes of the	international sea	rch (under Ru	le 23.1(b)).	
		the language of po	ublication of the	international a	pplication (und	der Rule 48.3(b))	•		
		the language of a 55.2 and/or 55.3).		shed for the pu	rposes of inte	rnational prelimir	nary examinati	on (under Rule	
3.	With inte	n regard to any <b>nuc</b> rnational prelimina	cleotide and/or ry examination v	amino acid se was carried out	equence disclored on the basis of	osed in the intern of the sequence l	ational applicalisting:	ation, the	
		contained in the ir	nternational appl	lication in writte	en form.				
		filed together with	the internationa	al application in	computer rea	dable form.			
		furnished subsequ	uently to this Aut	thority in writter	n form.			•	
		furnished subsequ	uently to this Au	thority in comp	uter readable	form.			
		The statement that the international a				ce listing does no	ot go beyond th	ne disclosure ir	
		The statement that listing has been fu		n recorded in c	omputer reada	able form is ident	ical to the writt	en sequence	
4.	The	amendments have	e resulted in the	cancellation of	f: ·	• • •			
		the description,	pages:						
		the claims,	Nos.:						
		the drawings,	sheets:					· ·	
5.		This report has be	en established beyond the disc	as if (some of) losure as filed	the amendme (Rule 70.2(c)):	nts had not beer	n made, since t	they have beer	



# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08487

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

		report.)			•					
							-			
6.	Add	litional observations, if ne	ecessar	y:		•				
		n-establishment of opin		•						
1.	The obv	questions whether the clous), or to be industrially	claimed y applica	invention able have	appears to be no not been exami	ovel, to involve ned in respect	an inver of:	ntive step	(to be no	n-
		the entire international a	applicati	on.	E)			<u>.</u> .		
	Ø	claims Nos. 27-30 (indu	ıstrial ap	plicability	<b>/).</b> .				•	
							,			
be	caus	se:	-							
	×	the said international ap	onlication	n or the s	said claims Nos	27-30 relate to	the follo	wina subi	ect matte	r which
		does not require an inte					8			
		see separate sheet	·	•					•	
	_			<i></i>				laima Nas		unalaar
		the description, claims of that no meaningful opin	or drawi ion coul	ngs ( <i>inaic</i> Id be form	cate particular ele ned (specify):	ements pelow)	or said c	laims Nos	i. are so	unclear
		that no meaning or opin	1011 ÇGQ.		(0,000)					
		the claims, or said claim could be formed.						that no m	neaningfu	ıl opinio
2.	A m	neaningful international p Vor amino acid sequence	relimina e listina 1	ry examii to comply	nation cannot be / with the standar	carried out due d provided for	e to the f in Anne	allure of the	ne nucleo Administr	tide ative
		ructions:		, ,						
•	_									
		the written form has not								
		the computer readable	form ha	s not bee	n furnished or do	es not comply	with the	standard.		•
٧.	Rea cita	asoned statement unde tions and explanations	r Articles suppo	e 35(2) w rting suc	rith regard to no ch statement	velty, inventiv	e step c	r industri	ial applic	ability;
1.	Sta	tement								
	Nov	velty (N)	Yes: No:	Claims Claims	2-7, 9-37 1, 8			1		
	Inve	entive step (IS)	Yes: No:	Claims Claims	1-37		,			
	Indi	ustrial applicability (IA)	Yes:	Claims	1-26, 31-37			•	·	•• •
					• 4				i	



No: Claims

2. Citations and explanations see separate sheet

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## INTERNATIONAL PRELIMINARY Inter EXAMINATION REPORT - SEPARATE SHEET

### Re Item III

### Non-establishment of opinion

Claims 27-30 relate to subject matter considered by this Authority to be covered by the provisions of Rule 67.1 (iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject matter of this claim, cf. Article 34(4)(a)(i) PCT.

#### Re Item V

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive st p or industrial applicability; citations and explanations supporting such statem nt

1- In the present Written Opinion reference is made to the following documents:

d1: J. MED. CHEM. vol. 38, no. 10, 1995, pages 1657-1665,

d2: FR 1 552 793 A

d3: US 4 883 815 A

d4: US 4 207 234 A

d5: J. MED. CHEM. (1985), 28(6), pages 699-707.

d6: JUSTUS LIEBIGS ANN. CHEM. (1968), 712, pages 73-8

d7: SCI. PHARM. (1971), 39(4), pages 267-92

#### 2- Novelty

- 2.1- The compound of formula 15 disclosed in scheme II of d5, in which X=4-NO2 and Y=2-Cl (cf. page 700 Method B, in conjunction with page 699, line 8 of paragraph "Chemistry"), is encompassed by present claims 1 and 8. The compound 15 in which X=Cl and Y=2-F, is excluded from these claims for the effect of the disclaimers. Accordingly, claims 1 and 8 do not comply with requirements of Art. 33(2) PCT.
- 2.2- Some compounds disclosed in d1, d2, d4, d6 and d7 contain a benzoyl group and an amide moiety attached by a linking group. These compounds differ from the compounds of the present application in that the groups attached to the nitrogen of the amide radical differ from the present groups R3/R4.

Compounds of d3 differ from the present compounds in that they lack the moiety - COR1.



### INTERNATIONAL PRELIMINARY **EXAMINATION REPORT - SEPARATE SHEET**

### 3- Inventive step

3.1- D1 discloses a class of benzophenone derivatives acting as inhibitors of HIV-1 reverse transcriptase. This document is regarded as the closest state of the art. The technical problem can be seen in the provision of further inhibitors of HIV reverse transcriptase.

Said problem has been allegedly solved by present compounds of formulae (I) and (IV) (compounds of formulae IA, IB, IC, ID, II and III appear encompassed by formula I). For the purpose of assessing the inventive step during the International Preliminary Examination it is assumed that these compounds indeed act as HIV inhibitors, i.e. solve the above defined technical problem.

3.2- The compounds of Tables 1 and 2 of d1 differ from the compounds of the invention only for the nature of the group R attached to the phenyl ring of the aniline moiety. In the compounds of formula 1a-1I said R group is a radical of formula -O(CH2)2NEt2 or a hydrogen. In the corresponding position the compounds of the invention can be substituted, for instance, by a C<sub>1</sub>-C<sub>8</sub>alkylamino radical or by small group such as hydroxy and halogen.

Due to this structural similarity with the HIV-inhibitors disclosed in d1, it appears that the skilled person would have expected similar properties also from the present compounds.

Thus, the presence of an inventive step should be demonstrated preferably by means of comparative tests, e.g. by showing a quantitative superiority or unexpected effects -vis-à-vis the closest prior art.

It is observed that the Applicant indicates in the present description (page 1 lines 30-33) that the compounds of d1 induce "resistance virus and were inactive against a common resistance strain". Considering the close similarity between the compounds of the invention and the compound of d1 and the broad scope of the formulae (I) and (IV), it should be credible from the comparative tests that any advantage over the compounds of d1 is provided by substantially all the claimed compounds.

The above considerations apply also to those compounds containing an heterocyclic moiety instead of a phenyl ring (i.e. compounds of claims 10-13 and 21). In fact the broad definition of heterocyclic group (cf. page 28 of the description) encompasses also rings known as bioisosters of the phenyl group.

Accordingly it appears that there are no inventive contributions in the introduction of this feature.



### INTERNATIONAL PRELIMINARY **EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP00/08487

### 4- Industrial applicability

For the assessment of the present claims 27-30 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

- 1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
- 2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
- 3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

### 4. REMINDER

Applicant

GLAXO GROUP LIMITED et al.

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination-report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

Name and mailing address of the IPEA/

Authorized officer

<u>)</u>

European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465

Ambroa, J.R.

Tel.+49 89 2399-8012







### PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PU3517	FOR FURTHER ACTION		ation of Transmittal of Internati Examination Report (Form Po	
International application No.	International filing date (day/mont	h/year)	Priority date (day/month/yea	ar)
PCT/EP00/08487	31/08/2000	4	04/09/1999	
International Patent Classification (IPC) or na C07D277/24	tional classification and IPC			- 1
Applicant				
GLAXO GROUP LIMITED et al.	**************************************			
This international preliminary examinand is transmitted to the applicant a		d by this Inte	mational Preliminary Exan	nining Authority
		• • • •		
2. This REPORT consists of a total of	7 sheets, including this cover s	heet.		
This report is also accompanied been amended and are the bas (see Rule 70.16 and Section 60)  These annexes consist of a total of	is for this report and/or sheets of the Administrative Instruction	containing re-	ctifications made before th	
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and the second s			- Name and the second s	
IV ☐ Lack of unity of inventio V ☒ Reasoned statement un	pinion with regard to novelty, in			licability;
VI Certain documents cité			المستحد بيد رساست مناشق تاويد. ديند است ۱۰ ديند مينسيدويوندو المنطقات مينوداتات -	Grander rejection on service and property of the control of the co
VII — Certain defects in the in				
VIII L Certain observations on	the international application		,	
Date of submission of the demand	Date of	completion of t	hls report	The last way
· · · · · · · · · · · · · · · · · · ·				
23/03/2001	22.11.20	001		*
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523856 Fax: +49 89 2399 - 4465	epmu d Usuelli	ed officer  A  ne No. +49 89	. 2399 7366	

Form PCT/IPEA/409 (cover sheet) (January 1994)

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08487

	I.	Basis of the report							
	1.	With regard to the elements of the international application (Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)):  Description, pages:							
		1-403 as originally filed							
		Claims, No.:							
*		-37 as originally filed							
	-	in the same of							
2	!. ¹	Vith regard to the language, all the elements marked above were available or furnished to this Authority in the anguage in which the international application was filed, unless otherwise indicated under this item.							
	-	hese elements were available or furnished to this Authority in the following language: , which is:							
		the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).							
		the language of publication of the international application (under Rule 48.3(b)).							
		the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).							
3	. V	With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:							
	C	To Main and In the International application in written form.							
		to a second the second application in computer readable form.							
	_[	furnished subsequently to this Authority in written form.							
	֖֓֞֞֞֞֞֞֞֩֞֞֩֞	to this Authority in computer readable form.							
	E	The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.							
-	- [	The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.							
4.	· T	e amendments have resulted in the cancellation of:							
	Е	the description, pages:							
		the claims,Nos.:							
		the drawings, sheets:							
5.		This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):							

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08487

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

	·		•		•				
6.	Additional observations, if	necessary:		•	H				
	•			•		Ţ			
111.	Non-establishment of opi	inion with re	egard to nove	elty, inventive :	step and indus	trial applicabil	ity		
1.	The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:								
	☐ the entire international								
	⊠ claims Nos. 27-30 (ind	lustrial applic	cability).	• • • • • • • • • • • • • • • • • • •	***************************************	***			
be	cause:								
the said international application, or the said claims Nos. 27-30 relate to the followin does not require an international preliminary examination (specify): see separate sheet						owing subject n	natter which		
	the description, claims that no meaningful opin	or drawings nion could be	( <i>indicate part</i> e tormed ( <i>spe</i>	icular elements cify):	below) or said	claims Nos. ar	e so unclear		
					$(-1)^{-1} = (-1)^{-1}$				
	the claims, or said clair could be formed.	ns Nos. are	so inadequat	ely supported b	y the description	n that no mean	Ingful opinion		
	no international search	report has b	een establish	ed for the said	claims Nos	. *			
	A meaningful international pand/or amino acid sequenci Instructions:	preliminary e e listing to co	xamination ca oniply with the	nnot be carried standard provi	out due to the f ded for in Anne	ailure of the nu	cleotide nistrative		
	the written form has no	t been furnis	hed or does n	ot comply with	the standard				
	the computer readable					standard.			
V. i	Reasoned statement unde	er Article 35( s supporting	(2) with regar	d to novelty, is	nventive step c	r industrial ap	plicability;		
	Statement					•			
1	Novelty (N)		ims 72-7, 9-3 ims 1, 8	7	-				
}	nventive step (IS)		iims ims 1-37						
ľ	ndustrial applicability (IA)	Yes: Cla	lims 1-26, 31	-37			· .		



International application No. PCT/EP00/08487

No: Claims

2. Citations and explanations see separate sheet

Form PCT/IPEA/409 (Boxes I-VIII, Sheet 3) (July 1998)

### Re Item III

### Non-establishment of opinion

Claims 27-30 relate to subject matter considered by this Authority to be covered by the provisions of Rule 67.1 (iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject matter of this claim, cf. Article 34(4)(a)(i) PCT.

### Re Item V

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1- In the present Written Opinion reference is made to the following documents:

d1: J. MED. CHEM. vol. 38, no. 10, 1995, pages 1657-1665,

d2: FR 1 552 793 A

d3: US 4 883 815 A

d4: US 4 207 234 A

d5: J. MED. CHEM. (1985), 28(6), pages 699-707.

d6: JUSTUS LIEBIGS ANN. CHEM. (1968), 712, pages 73-8

d7: SCI. PHARM. (1971), 39(4), pages 267-92

#### 2- Novelty

2.1- The compound of formula 15 disclosed in scheme II of d5, in which X=4-NO2 and Y=2-Cl-(cf.-page 700 Method B, in conjunction with page 699, line 8 of paragraph "Chemistry"), is encompassed by present claims 1 and 8. The compound 15 in which X=Cl and Y=2-F, is excluded from these claims for the effect of the disclaimers. Accordingly, claims 1 and 8 do not comply with requirements of Art. 33(2) PCT.

2.2- Some compounds disclosed in d1, d2, d4, d6 and d7 contain a benzoyl group and an amide moiety attached by a linking group. These compounds differ from the compounds of the present application in that the groups attached to the nitrogen of the amide radical differ from the present groups R3/R4.

Compounds of d3 differ from the present compounds in that they lack the moiety - COR1.

## INTERNATIONAL PRELIMINARY International application No. EXAMINATION REPORT - SEPARATE SHEET

CT/EP00/08487

### 3- Inventive step

3.1- D1 discloses a class of benzophenone derivatives acting as inhibitors of HIV-1 reverse transcriptase. This document is regarded as the closest state of the art. The technical problem can be seen in the provision of further inhibitors of HIV reverse transcriptase.

Said problem has been allegedly solved by present compounds of formulae (I) and (IV) (compounds of formulae IA, IB, IC, ID, II and III appear encompassed by formula I). For the purpose of assessing the inventive step during the International Problem.

3.2- The compounds of Tables 1 and 2 of d1 differ from the compound of the invention only for the nature of the group R attached to the phenyl ring of the anime moiety. In the compounds of formula 1a-1l said R group is a radical of formula -C  $CH_2$ )<sub>2</sub>NEt<sub>2</sub> or a hydrogen. In the corresponding position the compounds of the invention can be substituted, for instance, by a  $C_1$ - $C_8$ alkylamino radical or by small group such as hydroxy and halogen.

Due to this structural similarity with the HIV-inhibitors disclosed in d1, if appears that the skilled person would have expected similar properties also from the present compounds.

Thus, the presence of an inventive step should be demonstrated preferably by means of comparative tests, e.g. by showing a quantitative superiority or unexpected effects vis-à-vis the closest prior art.

It is observed that the Applicant indicates in the present description (page 1 lines 30-33) that the compounds of d1 induce "resistance virus and were inactive against a common resistance strain". Considering the close similarity between the compounds of the invention and the compound of d1 and the broad scope of the formulae (I) and (IV), it should be credible from the comparative tests that any advantage over the compounds of d1 is provided by substantially all the claimed compounds. The above considerations apply also to those compounds containing at heterocyclic moiety instead of a phenyl ring (i.e. compounds of claims 10-13 and 21). In fact the broad definition of heterocyclic group (cf. page 28 of the description) ercompasses

Accordingly it appears that there are no inventive contributions in the introduction of this feature.

also rings known as bioisosters of the phenyl group.

## INTERNATIONAL PRELIMINARY Inter EXAMINATION REPORT - SEPARATE SHEET

International application No.

CT/EP00/08487

### 4- Industrial applicability

For the assessment of the present claims 27-30 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting states. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

TO:
PCT Examination
International Bureau of WIPO
34 Chimin des Colombettes
1211 Geneva 20
Switzerland

Request before expiration of 30th

Month

Fax: 011 41 22 740 1435

Applicant's File Reference PU3517WO	Applicant Glaxo Group Limited				
International Application No. PCT/EP00/08487	International Filing Date: 31 August 2000				
30th Month Deadline: 4 March 2002	Title: Benzophenones as Inhibitors of Reverse Transcriptase				

### Please make the following corrections to PCT Request:

Please change the name of inventor Clarence Webster ANDREWS to Clarence Webster ANDREWS III

Box III-1 Please change the address of inventor Clarence Webster ANDREWS, III from, Glaxo Wellcome Inc., Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Change to

GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Box III-2 Please change the address of inventor Joseph Howing CHAN from Glaxo Wellcome Inc., Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US Change to

GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Box III-3 Please change the address of inventor George Andrew FREEMAN from Glaxo Wellcome Inc., Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Change to

GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Box III-5 Please change the address of inventor Karen Rene ROMINES from Glaxo Wellcome Inc., Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US Change to

GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709, US

Box III-7 Please change the address of inventor Pascal Maurice Charles PIANETTI from Laboratoire Glaxo Wellcome, Centre de Recherches, Z.A. de Couraboeuf, 25, avenue de Québec, F-91940 Les Ulis, France

Change to

Laboratoire GlaxoSmithKline, Centre de Recherches, Z.A. de Couraboeuf, 25, avenue de Québec, F-91940 Les Ulis, France

Please confirm receipt of this Request to Change PCT Request for 30<sup>th</sup> Month to fax 919-483-7988.

Please send PCT/IB/306 to: David J. Levy, VP, Glaxo Smith Kline, Corporate Intellectual Property Department Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709

If there should be questions, please call (919) 483-2252.

Thank you. Sincerely,

Maren L. Prus, Agent for the Applicant

